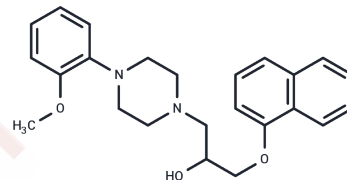


Naftopidil

Chemical Properties

CAS No. :	57149-07-2
Formula:	C ₂₄ H ₂₈ N ₂ O ₃
Molecular Weight:	392.49
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Naftopidil (KT-611) (INN, marketed under the brand name Flivas), an antihypertensive medicine, is used as a selective α ₁ -adrenergic receptor antagonist or α -blocker.
Targets(IC50)	Adrenergic Receptor
In vitro	Naftopidil is selective for the α _{1D} -adrenoceptor with approximately 3- and 17-fold higher affinity than for the α _{1A} - and α _{1B} -adrenoceptor subtypes, respectively. [1] Naftopidil has growth inhibitory effect in androgen-sensitive and -insensitive human prostate cancer cell lines. Naftopidil induces p21(cip1) but not p27(kip1) in PC-3 cells. [2] Naftopidil induces apoptosis in all the investigated malignant mesothelioma cells, and a similar effect is obtained with prazosin, another α ₁ -adrenoceptor blocker. Naftopidil-induced reduction in cell viability is inhibited by GF109203X, while prazosin-induced in cell viability is less affected. [3] Naftopidil, an α ₁ -adrenoreceptor antagonist produces a concentration-dependent inhibition of collagen-induced Ca ²⁺ mobilization, maximum inhibition (22.9%) occurring with 40 mM Naftopidil. Naftopidil also inhibits the adrenaline-induced rise in [Ca ²⁺] _i in a concentration-dependent manner (30 mM doxazosin), significant inhibitions of platelet aggregation also being produced. [4] Naftopidil (0.3, 1, and 3 μ M) inhibits 5-HT-induced bladder contraction in a concentration-dependent manner. Naftopidil inhibits both the 5-HT(2A) and 5-HT(2) receptor agonists-induced bladder contractions. Naftopidil binds to the human 5-HT(2A) and 5-HT(2B) receptors with pK _i values of 6.55 and 7.82, respectively. [5]
In vivo	Naftopidil selectively inhibits the phenylephrine-induced increase in prostatic pressure compared with mean blood pressure in the anesthetized dog model. [1] Naftopidil inhibits 5-HT-induced bladder contraction via blockade of the 5-HT(2A) and 5-HT(2B) receptors in rats. [5]

Solubility Information

Solubility	H ₂ O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 75 mg/mL (191.09 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5478 mL	12.7392 mL	25.4784 mL
5 mM	0.5096 mL	2.5478 mL	5.0957 mL
10 mM	0.2548 mL	1.2739 mL	2.5478 mL
50 mM	0.051 mL	0.2548 mL	0.5096 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

- Takei R, et al. Jpn J Pharmacol,1999, 79(4), 447-454.
Kanda H, et al. Int J Cancer,2008, 122(2), 444-451.
Masachika E, et al. Anticancer Res,2013, 33(3), 887-894.
Alarayyed NA, et al. Br J Clin Pharmacol,1997, 43(4), 415-420.
Sakai T, et al. Eur J Pharmacol,2013, 700(1-3), 194-200.

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